Serial No.: 10/511,411

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AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

LISTING OF CLAIMS

Claims

1. (Currently amended) The compounds A compound according to the general formula Ia or Ib:

wherein in each,

R1 means is H, C₁-C₆ alkyl, cycloalkyl, or C₁-C₄ alkyleyeloalkyl, alkyleyeloalkyl; R2 means is C₁-C₁₄ alkyl, C₂-C₁₄ alkenyl, 1,3-butadienyl, 1-butane, C₁-C₄ alkylaryl, heteroaryl, C₁-C₄ alkylheteroaryl, cycloalkyl, C₁-C₄ alkyl-cycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, $C_mH_{2m+o-p}Y_p$ (with m=1 to 6, for o=1, p=1 to 2m+o; for m=2 to 6, o = -1, p = 1 to 2m+o; for m = 4 to 6, o = -2, p = 1 to 2m+o; Y = independently from eachother selected from the group consisting of halogen, OH, OR21, NH2, NHR21, NR21R22, $SH_{2}SR21_{1}$, $CH_{2}NHCOR21_{1}$, $CH_{2}NHCSR21_{1}$, $CH_{2}S(O)nR21_{1}$, with $n=0,1,2,CH_{2}SCOR21_{1}$

CH₂OSO₂-R21, CHO, CH=NOH, CH(OH)R21, -CH=NOR21, -CH=NOCOR21, -CH=NOCH₂CONR21R22, -CH=NOCH(CH₃)CONR21R22, -CH=NOC(CH₃)₂CONR21R22, -CH=N-NHCO-R23, -CH=N-NHCO-CH₂NHCOR21, -CH=N-O-CH₂NHCOR21, -CH=N-NHCO-R23, -CH=CR24R25 (trans or cis), COOH, COOR21, CONR21R22, -CH=NR21, -

CH=N-NR21R22,

, (with X' = NR215, O, S, and R211, R212,

R213, R214, R215 being independently from each other H-or C₁-C₆-alkyl), -CH=N-NHSO₂ aryl, or -CH=N-NHSO₂ heteroaryl,

wherein m is 1 to 6, o is 1, p is 1 to 2m+o;

m is 2 to 6, o is -1, p is 1 to 2m+o; or

m is 4 to 6, o is -2, p is 1 to 2m+o;

Y is independently from each other selected from the group consisting of halogen, OH, OR21, NH2, NHR21, NR21R22, SH and SR21; and

wherein X' is NR215, O, or S; and R211, R212, R213, R214, R215 are independently from each other H or C₁-C₆ alkyl)

R21, R22 are independently from each other C₁-C₁₄ alkyl, C₁-C₁₄ alkanoyl, C₁-C₆ alkylamino, C₁-C₆ alkylamino-C₁-C₆ alkylamino-C₁-C₆ alkylamino-di-C₁-C₆ alkylamino-di-C₁-C₆ alkyl, cycloalkyl, C₁-C₄ alkylcycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, aryl, aryloyl, C₁-C₄ alkylaryl, heteroaryl, heteroaryloyl, C₁-C₄ alkylheteroaryl, cycloalkanoyl, C₁-C₄ alkanoylcycloalkyl, heterocycloalkanoyl, C₁-C₄ alkanoylheterocycloalkyl, C₁-C₄ alkanoylaryl, C₁-C₄ alkanoylheteroaryl, or mono- and di-sugar di-sugars residues linked through a C atom which would carry an OH residue group in the sugar, wherein the sugars are independently from each other selected from the group consisting of glucuronic acid and its stereo isomers at all optical atoms, aldopentoses, and aldohexoses, including their desoxy compounds (such as e.g. glucose, desoxyglucose, ribose, desoxyribose);

R23 independently of R21, has the same meanings as is R21, or a CH₂-pyridinium salts salt, or a CH₂-tri-C₁-C₆ alkylammonium salts, salt;

R24 independently of R21, has the same meanings as is R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21, or NHCOR21;

R25 independently of R21, has the same meanings as is R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21, or NHCOR21;

R24, R25 together mean are C₄-C₈ eyoloalkyl; cycloalkyl;

R3 means is C₂-C₁₄ alkyl, C₂-C₁₄ alkenyl, C₂-C₁₄ alkinyl, aryl, C₁-C₄ alkylaryl, heteroaryl, C₁-C₄ alkylheteroaryl, wherein the aryls or heteroaryls may be substituted with another aryl, C₁-C₄ alkylaryl, O-aryl, C₁-C₄ alkyl-O-aryl, heteroaryl, C₁-C₄ alkylheteroaryl, O-heteroaryl or C₁-C₄ alkyl-O-heteroaryl, cycloalkyl, C₁-C₄ alkylcycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, C_mH_{2m+e} . $_pY_p$ (with m=2 to 6, for o=1, -1, p=1 to 2m+o; for m=4 to 6, o=-3, p=1 to 2m+o; Y= independently from each other selected from the group consisting of halogen, OH, OR31, NH₂, NHR31, NR31R32, SH, SR31), $C_mH_{2m}+_{o-p}Y'_{p_a}$ CH₂NHCOR31, CH₂NHCSR31, CH₂S(O)nR31, with n=0, 1, 2, CH₂SCOR31, CH₂OSO₂-R31, CHO, CH=NOH, CH(OH)R31, -CH=NOR31, -CH=NOCOR31, -CH=NOCH₂CONR31R32, -CH=N-NHCO-R33, -CH=NOCH(CH₃)CONR31R32, -CH=N-NHCO-R33, -CH=N-NHCO-CH₂NHCOR31, -CH=N-NHCO-CH₂NHCOR31, -CH=N-NHCO-CH₂NHCOR31, -CH=N-NHCS-R33, -CH=CR34R35 (trans or cis), COOH, COOR31, CONR31R32, -CH=NR31, -CH=N-NHCO-CH=N-

NR31R32,

, (with X' = NR315, O, S, and R311, R312, R313,

R314, R315 being independently from each other H or C_1 - C_6 alkyl), -CH=N-NHSO₂ aryl, or -CH=N-NHSO₂- heteroaryl,

wherein m is 2-6, o is 1 or -1, and p is 1 to 2m + 0; or

m is 4-6, o is -3 and p is 1 to 2m + o; and

Y' is independently from each other selected from the group consisting of halogen, OH, OR31, NH2, NHR31, NR31R32, SH, and SR31; and wherein n is 0, 1 or 2;

R31, R32 mean independently from each other C_1 - C_{14} alkyl, C_1 - C_{14} alkanoyl, C_1 - C_6 alkylamino, C_1 - C_6 alkylamino- C_1 - C_6 alkylamino- C_1 - C_6 alkylamino-di- C_1 - C_6 alkyl, cycloalkyl, C_1 - C_4 alkylcycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, aryl,

aryloyl, C₁-C₄ alkylaryl, heteroaryl, heteroaryloyl, C₁-C₄ alkylheteroaryl, cycloalkanoyl, C₁-C₄ alkanoylcycloalkyl, heterocycloalkanoyl, C₁-C₄ alkanoylheterocycloalkyl, C₁-C₄ alkanoylaryl, C₁-C₄ alkanoylheteroaryl, alkanoylaryl, C₁-C₄ alkanoylheteroaryl, or mono- and di-sugar di-sugars residues linked through a C atom which would carry an OH residue group in the sugar, wherein the sugars are independently from each other selected from the group consisting of glucuronic acid and its stereo isomers at all optical atoms, aldopentoses, and aldohexoses, including their desoxy compounds (such as e.g. glucose, desoxyglucose, ribose, desoxyribose), compounds;

- R33 independently of R31, has the same meanings as is R31, or a CH₂-pyridinium salts, salt, or a CH₂-tri-C₁-C₆ alkylammonium salts, salt;
- R34 independently of R21, has the same meanings as is R31, or H, CN, COCH₃, COOH, COOR21, CONR31R32, NH₂, NHCOR31, or NHCOR31;
- R35 independently of R31, has the same meanings as is R31, or H, CN, COCH₃, COOH, COOR31, CONR31R32, NH₂, NHCOR31, or NHCOR31;
- R34, R35 together mean-C₄-C₈-cycloalkyl, are C₄-C₈ cycloalkyl;
- R5 means is H, C_1 - C_6 alkyl, cycloalkyl, C_1 - C_4 alkylcycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, C_1 - C_4 -alkylheteroaryl; or C_1 - C_4 alkylheteroaryl;
- R4, R6, R7 independently from each other mean are H, C₁-C₆ alkyl, CO-R41; or CO-R41;
- R41 independently of R21, has the same meanings as R21; is R21;
- X means is O, S, NH, or N-R8, wherein R8 independently from R5 may adopt the same meaning as is R5, or R5 and R8, together with the N, form a ring with 4, 5, 6, 7, or 8 members, which may optionally contain still another heteroatom selected from the group consisting of N, O, S, and S;
- or X-R5 may together be H, H;

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Y means is O, S, or NR9, wherein R9 may be is H or C₁-C₆ alkyl; C₁-C₆ alkyl;

as well their stereoisomers, tautomers, and their physiologically tolerable salts or inclusion eompounds. or a stereoisomer, tautomer or physically tolerable salt thereof.

2. (Original) The compounds according to claim 1, wherein Formula Ia or Ib adopt the stereochemistry of Formula IIa or IIb

Claims 3-4. (Canceled)

5. (Currently amended) The compounds compound according to claim 1, wherein

R1 means is H, C₁-C₅ alkyl, eyeloalkyl, especially H, or cycloalkyl;

CHOH-CH=CH-CH₃, CH=CH-CHOH-CHOH-CH₃, CH₂Y (Y = F, Cl, Br, I), CH₂NH₂, CH₂NR21R22, CH₂NHCOR23, CH₂NHCSR23, CH₂SH, CH₂S(O)nR21, with n = 0, 1, 2, CH₂SCOR21, particularly CH₂OH, CH₂OR21, CH₂OSO₂-R21, particularly CHO, CH(OR21)₂, CH(SR21)₂, CN, CH=NOH, CH=NOR21, CH=NOCOR21, CH=N-NHCO-R23, CH=CR24, R25 (trans or cis), particularly COOH (particularly their-physiologically tolerable salts), COOR21, CONR21R22, -CH=NR21, -CH=N-NR21R22,

, (with X' = NR215, O, S, and R211, R212, R213, R214, R215

being independently from each other H or C₁-C₆-alkyl), -CH=N-NHSO₂-aryl, -CH=N-NHSO₂-heteroaryl, or CH=N-NHCO-R23,

wherein X' is NR215, O, or S; and R211, R212, R213, R214, and R215 are independently from each other are H or C₁-C₆ alkyl;

R21, R22 independently from each other $\frac{\text{mean}}{\text{mean}}$ $\frac{\text{are}}{\text{C}_1 - \text{C}_6}$ alkyl, cycloalkyl, aryl, $\frac{\text{C}_1 - \text{C}_4}{\text{alkylheteroaryl}}$, $\frac{\text{alkylheteroaryl}}{\text{alkylheteroaryl}}$;

R23 independently of R21, has the same meanings as is R21, or a CH₂-pyridinium salts, salt, or a CH₂-tri-C₁-C₆ alkylammonium salts, salt;

R24 independently of R21, has the same meanings as is R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21, or NHCOR21;

R25 independently of R21, has the same meanings as is R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21, or NHCOR21;

R24, R25 together mean C₄-C₈ cycloalkyl, are C₄-C₈ cycloalkyl;

R3 means is C_2 - C_{14} alkyl, C_2 - C_{14} alkenyl, C_2 - C_{14} alkinyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, or C_1 - C_4 alkylheteroaryl, wherein the aryls or heteroaryls may be substituted with another aryl, C_1 - C_4 alkylaryl, O-aryl, C_1 - C_4 alkyl-O-aryl, heteroaryl, C_1 - C_4 alkylheteroaryl, O-heteroaryl, C_1 - C_4 alkyl-O-heteroaryl;

R5 means is H, C₁-C₃ alkyl, eycloalkyl, or cycloalkyl;

R4, R6, R7 independently from each other mean are H, C₁-C₅ alkyl, CO-R41, or CO-R41;

R41 independently of R21, has the same meanings as R21, is R21;

X means is O, S, NH, N-R8, or N-R8;

Y means is O, S, or NH.

6. (Currently amended) The <u>compounds compound according to claim 1</u> in the form of their inclusion compounds with cyclodextrin, particularly alpha cyclodextrin an inclusion compound with cyclodextrin.

Claims 7-14. (Canceled)

15. (New) The compound according to claim 2 wherein

R1 is H, C_1 - C_5 alkyl, or cycloalkyl;

COOR21, CONR21R22, -CH=NR21, -CH=N-NR21R22,

-CH=N-NHSO₂-aryl, -CH=N-NHSO₂-heteroaryl, or CH=N-NHCO-R23, wherein X' is NR215, O, or S; and R211, R212, R213, R214, and R215 are independently from each other are H or C₁-C₆ alkyl;

R21, R22 independently from each other are C_1 - C_6 alkyl, cycloalkyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, or C_1 - C_4 alkylheteroaryl;

R23 independently of R21, is R21, a CH₂-pyridinium salt, or a CH₂-tri-C₁-C₆ alkylammonium salt;

R24 independently of R21, is R21, H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, or NHCOR21;

R25 independently of R21, is R21, H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, or NHCOR21;

R24, R25 together are C₄-C₈ cycloalkyl;

R3 is C_2 - C_{14} alkyl, C_2 - C_{14} alkenyl, C_2 - C_{14} alkinyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, or C_1 - C_4 alkylheteroaryl, wherein the aryls or heteroaryls may be substituted with another aryl, C_1 - C_4 alkylaryl, O-aryl, C_1 - C_4 alkyl-O-aryl, heteroaryl, C_1 - C_4 alkyl-O-heteroaryl;

R5 is H, C₁-C₃ alkyl, or cycloalkyl;

R4, R6, R7 independently from each other are H, C₁-C₅ alkyl, or CO-R41;

R41 independently of R21, is R21;

X is O, S, NH, or N-R8;

Y is O, S, or NH.

16. (New) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier or adjuvant.

17. (New) A pharmaceutical composition comprising a compound of claim 2 and a pharmaceutically acceptable carrier or adjuvant.